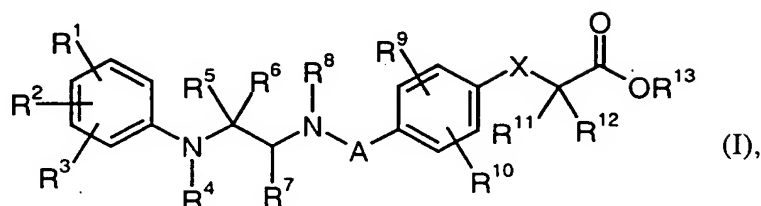


Patent Claims

1. Compounds of the general formula (I)



in which

A represents a bond or represents a -CH₂- or -CH₂CH₂- group,

X represents O, S or CH₂,

R¹, R² and R³ are identical or different and independently of one another each represents hydrogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, hydroxyl, (C₁-C₆)-alkoxy, (C₆-C₁₀)-aryloxy, halogen, trifluoromethyl, trifluoromethoxy, (C₁-C₆)-alkylaminosulphonyl, nitro or cyano,

or

R¹ and R² are attached to two adjacent carbon atoms and together with these form a fused cyclohexane or benzene ring, the latter optionally being substituted by a (C₁-C₄)-alkylsulphonylmethyl group,

and

R³ is as defined above,

R⁴ represents hydrogen or (C₁-C₄)-alkyl,

R^5 and R^6 represent hydrogen or together with the carbon atom to which they are attached form a carbonyl group,

5 R^7 represents hydrogen, (C_1-C_6) -alkyl, phenyl or benzyl, where the aromatic radicals mentioned for their part may in each case be mono- to trisubstituted by identical or different substituents from the group consisting of (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy, hydroxyl and halogen,

10 R^8 represents hydrogen, (C_6-C_{10}) -aryl or represents (C_1-C_4) -alkyl which for its part may be substituted by hydroxyl, trifluoromethoxy, (C_1-C_4) -alkoxy or phenoxy, which for their part are optionally mono- or disubstituted by trifluoromethyl, or by (C_6-C_{10}) -aryl or 5- or 6-membered heteroaryl having up to three heteroatoms from the group
15 consisting of N, O and S, where all aryl and heteroaryl rings mentioned may for their part in each case be mono- to trisubstituted by identical or different substituents from the group consisting of halogen, hydroxyl, (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy, trifluoromethyl, trifluoromethoxy, cyano, nitro and amino,

20

R^9 and R^{10} are identical or different and independently of one another each represents hydrogen, (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy, trifluoromethyl, trifluoromethoxy or halogen,

25 R^{11} and R^{12} are identical or different and independently of one another each represents hydrogen or (C_1-C_6) -alkyl or together with the carbon atom to which they are attached form a (C_4-C_7) -cycloalkyl ring,

and

30

R^{13} represents hydrogen or represents a group which can hydrolysed and degraded to the corresponding carboxylic acid,

and their pharmaceutically acceptable salts, hydrates and solvates.

5

2. Compounds of the general formula (I),

in which

10

A represents a bond or represents a $-CH_2-$ or $-CH_2CH_2-$ group,

X represents O, S or CH_2 ,

15

R^1 , R^2 and R^3 are identical or different and independently of one another each represents hydrogen, (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy, hydroxyl, halogen, trifluoromethyl, trifluoromethoxy, nitro or cyano,

R^4 represents hydrogen or (C_1-C_4) -alkyl,

20

R^5 and R^6 each represents hydrogen or together with the carbon atom to which they are attached form a carbonyl group,

25

R^7 represents hydrogen, (C_1-C_6) -alkyl, phenyl or benzyl, in which the aromatic radicals mentioned for their part may in each case be mono- to trisubstituted by identical or different substituents from the group consisting of (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy, hydroxyl or halogen,

30

R^8 represents hydrogen, (C_6-C_{10}) -aryl or (C_1-C_4) -alkyl, which for its part is optionally substituted by (C_6-C_{10}) -aryl or 5- or 6-membered heteroaryl having up to three heteroatoms from the group consisting of N, O and S, where all of the ring systems mentioned may for their part

in each case be mono- to trisubstituted by identical or different substituents from the group consisting of halogen, hydroxyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy, trifluoromethyl, trifluoromethoxy, cyano, nitro and amino,

5

R⁹ and R¹⁰ are identical or different and independently of one another each represents hydrogen, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy, trifluoromethyl, trifluoromethoxy or halogen,

10

R¹¹ and R¹² are identical or different and independently of one another each represents hydrogen or (C₁-C₆)-alkyl, or together with the carbon atom to which they are attached they form a (C₄-C₇)-cycloalkyl ring,

and

15

R¹³ represents hydrogen or a group that can be hydrolysed and degraded to the corresponding carboxylic acid,

and their pharmaceutically acceptable salts, hydrates and solvates.

20

3. Compounds of the general formula (I) according to Claim 1 or 2,

in which

25

A represents a -CH₂- or -CH₂CH₂- group,

X represents O, S or CH₂,

30

R¹, R² and R³ are identical or different and independently of one another each represents hydrogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, chlorine, fluorine, trifluoromethyl, trifluoromethoxy, nitro or cyano,

R⁴ represents hydrogen or methyl,

R⁵ and R⁶ each represent hydrogen or together with the carbon atom to which they are attached form a carbonyl group,

R⁷ represents hydrogen, (C₁-C₄)-alkyl or benzyl,

R⁸ represents hydrogen, phenyl, benzyl or 5-membered heteroarylmethyl having up to two heteroatoms from the group consisting of N, O and S, where the aromatic ring systems mentioned for their part may in each case be mono- to trisubstituted by identical or different substituents from the group consisting of chlorine, fluorine, bromine, hydroxyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, trifluoromethyl and amino,

R⁹ and R¹⁰ are identical or different and independently of one another each represents hydrogen, (C₁-C₃)-alkyl, (C₁-C₃)-alkoxy, trifluoromethyl, fluorine or chlorine,

R¹¹ and R¹² are identical or different and independently of one another each represents hydrogen, methyl or ethyl, or together with the carbon atom to which they are attached they form a cyclopentyl or cyclohexyl ring,

and

R¹³ represents hydrogen or represents a group that can be hydrolysed and degraded to the corresponding carboxylic acid,

and their pharmaceutically acceptable salts, hydrates and solvates.

4. Compounds of the general formula (I), according to Claim 1, 2 or 3

in which

A represents a $-\text{CH}_2-$ or $-\text{CH}_2\text{CH}_2-$ group,

X represents O, S or CH_2 ,

R^1 represents hydrogen, methyl or methoxy,

R^2 and R^3 are identical or different and independently of one another each represents methyl, trifluoromethyl, methoxy, trifluoromethoxy, chlorine or fluorine,

R^4 represents hydrogen,

R^5 and R^6 together with the carbon atom to which they are attached form a carbonyl group,

R^7 represents methyl, ethyl, n-propyl or, in particular, hydrogen,

R^8 represents phenyl, furanylmethyl or thienylmethyl, where the ring systems mentioned for their part may in each case be mono- or disubstituted by identical or different substituents from the group consisting of methyl and ethyl,

R^9 and R^{10} are identical or different and each represents hydrogen or methyl in particular hydrogen,

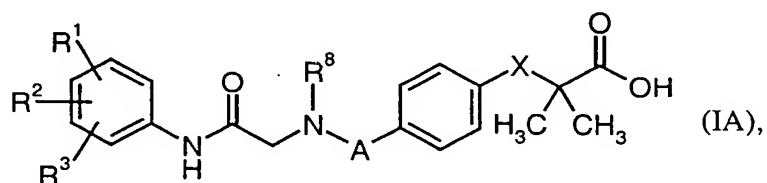
R^{11} and R^{12} are identical or different and each represents hydrogen or methyl in particular methyl,

and

R^{13} represents a group which can be hydrolysed and degraded to the corresponding carboxylic acid, or, in particular, represents hydrogen,

and their pharmaceutically acceptable salts, hydrates and solvates.

5. Compounds of the formula (IA)



in which

A represents a $-CH_2-$ or $-CH_2CH_2-$ group,

X represents O or S,

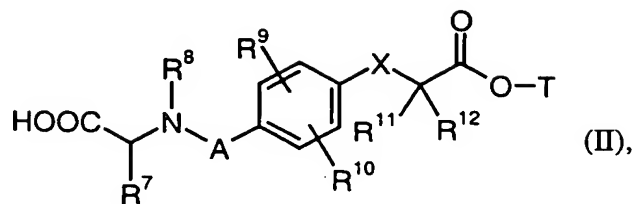
R^1 represents hydrogen, methyl or methoxy,

R^2 and R^3 are identical or different and independently of one another each represents methyl, isopropyl, tert-butyl, cyclohexyl, trifluoromethyl, methoxy, trifluoro-methoxy, chlorine or fluorine,

and

R^8 represents phenyl, furanylmethyl, thienylmethyl or oxazolylmethyl, where the ring systems mentioned for their part may in each case be mono- or disubstituted by methyl, or represents 2-methoxyethyl.

6. Compounds of the formula (I) as defined in Claims 1 to 5 for the prophylaxis and treatment of diseases.
- 5 7. Medicaments, comprising at least one compound of the formula (I) as defined in Claim 1 and inert nontoxic, pharmaceutically suitable carriers, auxiliaries, solvents, vehicles, emulsifiers and/or dispersants.
- 10 8. Use of compounds of the formula (I) and medicaments as defined in Claims 1 to 7 for the prophylaxis and treatment of diseases.
9. Use of compounds of the formula (I) as defined in Claims 1 to 6 for preparing medicaments.
- 15 10. Use of compounds of the formula (I) as defined in Claims 1 to 6 for preparing medicaments for the treatment of arteriosclerosis.
- 20 11. Method for the prophylaxis and treatment of diseases, characterized in that compounds of the formula (I) as defined in Claim 1 are allowed to act on living beings.
- 25 12. Process for preparing medicaments, characterized in that at least one compound of the formula (I) as defined in Claim 1 is converted into an administration form using auxiliaries and/or carriers.
13. Process for preparing compounds of the formula (I) as defined in Claim 1, characterized in that
[A] compounds of the general formula (II)



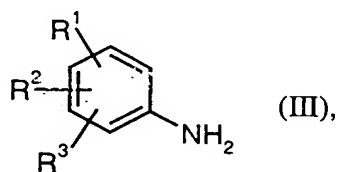
in which

A, X, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are each as defined above

and

T represents benzyl, (C₁-C₆)-alkyl or a polymeric support suitable for solid-phase synthesis,

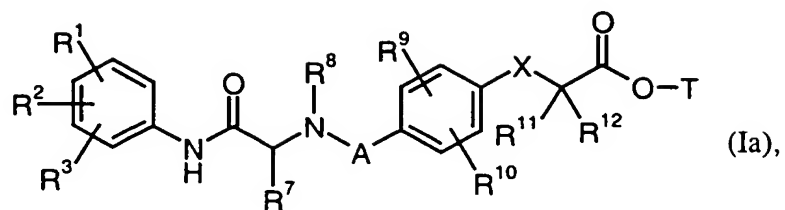
are initially, with activation of the carboxylic acid group in (II), reacted with compounds of the general formula (III)



in which

R¹, R² and R³ are each as defined above,

to give compounds of the general formula (Ia)

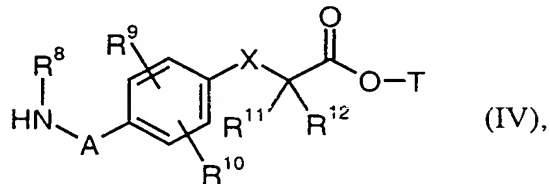


in which

5 A, X, T, R¹, R², R³, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are each as defined above,

or

10 [B] compounds of the general formula (IV)

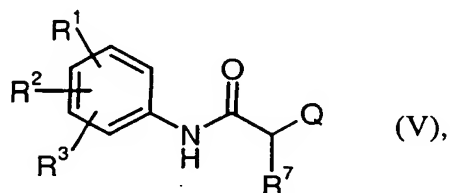


in which

15 A, X, T, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are each as defined above

are, in the presence of a base, reacted with compounds of the general formula (V)

20



in which

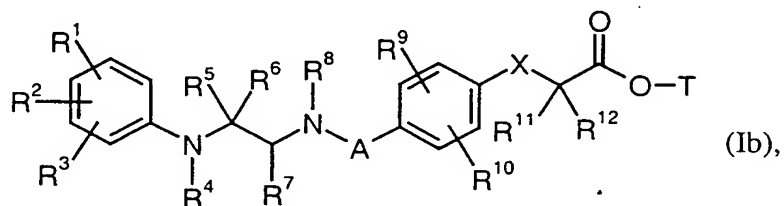
R^1, R^2, R^3 and R^7 are each as defined above

and

Q is a suitable leaving group,

likewise to compounds of the general formula (Ia)

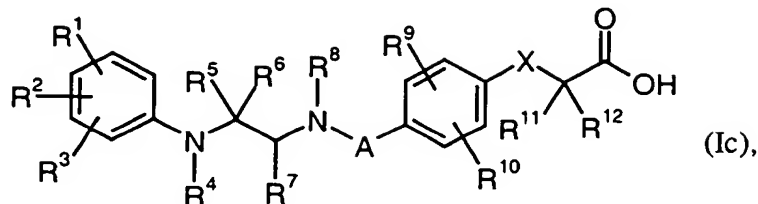
the compounds of the general formula (Ia) are, if appropriate according to known methods for amide alkylation or amide reduction, converted into compounds of the general formula (Ib)



in which

A, X, T, $R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9, R^{10}, R^{11}$ and R^{12} are each as defined above,

then converted with acids or bases into the corresponding carboxylic acids of the general formula (Ic)

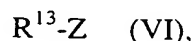


in which

5 A, X, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are each as defined above,

and these are, if appropriate according to known methods for esterification, modified further by reaction with compounds of the general formula (VI)

10



in which

15 R¹³ is as defined above

and

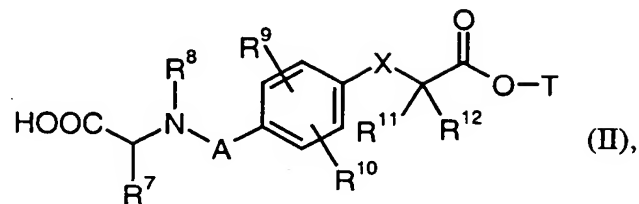
Z represents a suitable leaving group or represents a hydroxyl group.

20

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14. Use according to Claim 9 for preparing medicaments for the treatment of arteriosclerosis, for increasing pathologically low HDL levels and for reducing elevated triglyceride and LDL levels in cases of arteriosclerosis and/or hypercholesterolaemia.
15. Use of compounds of the formula (I) as defined in Claim 1 as agonists of the peroxisome-proliferator-activated receptor.

16. Compounds of the formula (II)



5 in which

A, X, R⁷, R⁸, R⁹, R¹⁰, R¹¹ and R¹² are as defined in Claims 1 to 5,

and

10

T represents benzyl, (C₁-C₆)-alkyl or a polymeric support suitable for solid-phase synthesis.